Appl's

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:449673 CAPLUS
DN 137:20389
TI Preparation of indenopyrazolone semicarbazides as cyclin dependent Kinase inhibitors.
IN Carini, David J.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046182	A1	20020613	WO 2001-US46904	20011207
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002028849	A5	20020618	AU 2002-28849	20011207
	US 2002091127	A1	20020711	US 2001-10979	20011207
	EP 1351956	A1	20031015	EP 2001-989969	20011207
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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	WO 2001-US46904	W	20011207		

OS MARPAT 137:20389
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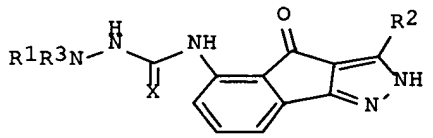


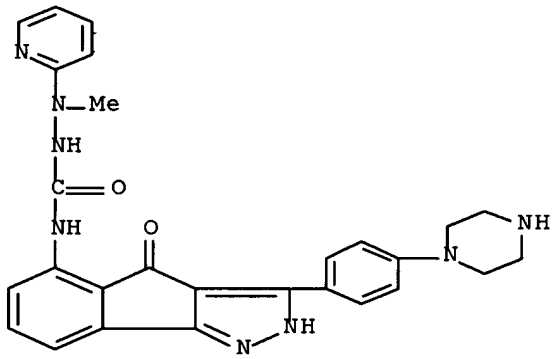
I

AB Title compds. [I; X = O, S; R1 = (substituted) carbocyclyl, heterocyclyl; R2 = H, (substituted) alkyl, alkenyl alkynyl, carbocyclyl, heterocyclyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; with provisos], were prepd. as cdk inhibitors (no data). Thus, 3-(4-piperazinophenyl)-5-[[N-methyl-N-(2-pyridinyl)amino]carbamoylamino]indeno[1,2-c]pyrazol-4-1 was prepd. in several steps starting from 4-piperazinoacetophenone.

IT **435337-10-3P 435337-11-4P 435337-13-6P**
435337-14-7P 435337-16-9P 435337-18-1P
435337-20-5P 435337-22-7P 435337-24-9P
435337-26-1P 435337-28-3P 435337-30-7P
435337-32-9P 435337-34-1P 435337-36-3P
435337-37-4P 435337-39-6P 435337-41-0P
435337-43-2P 435337-45-4P 435337-47-6P
435337-49-8P 435337-51-2P 435337-53-4P
435337-55-6P 435337-57-8P 435337-59-0P
435337-61-4P 435337-62-5P 435337-64-7P

435337-66-9P 435337-68-1P 435339-57-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(prepn. of indenopyrazolone semicarbazides as cyclin dependent kinase inhibitors)

RN 435337-10-3 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(2-pyridinyl)-(9CI) (CA INDEX NAME)



514/359
360
364
371
540/575

RN 435337-11-4 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(2-pyridinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

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CRN 435337-10-3
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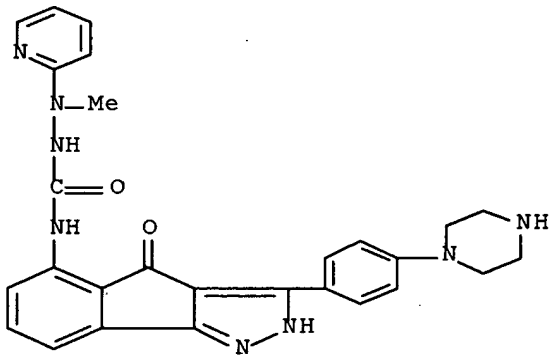

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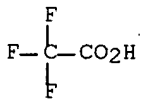
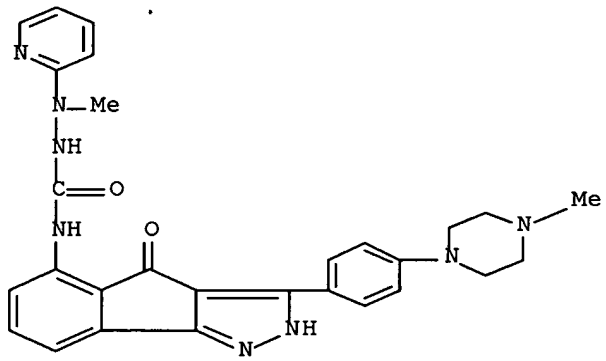
CRN 76-05-1
CMF C2 H F3 O2



RN 435337-13-6 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-3-[4-(4-methyl-1-piperazinyl)phenyl]-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 435337-14-7 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-3-[4-(4-methyl-1-piperazinyl)phenyl]-
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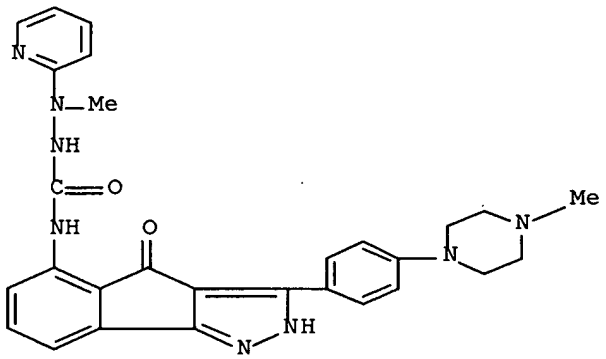

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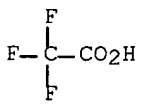
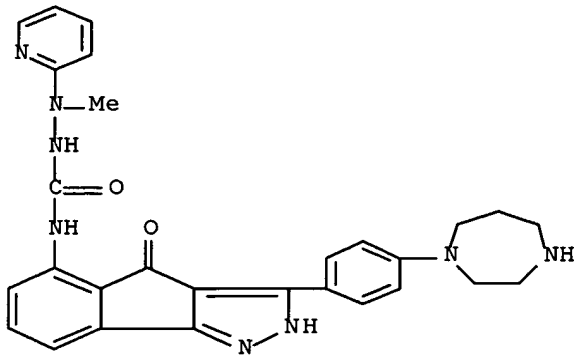
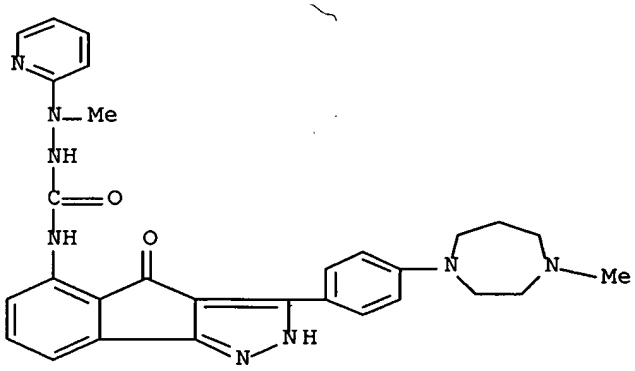


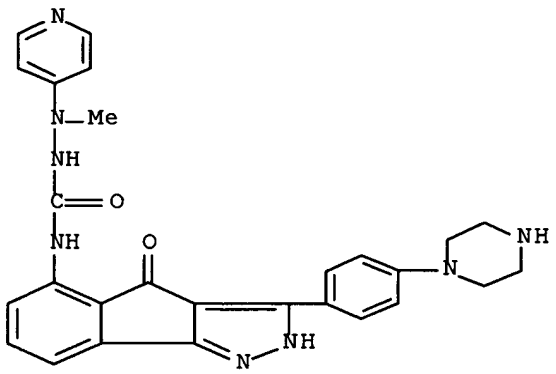
RN 435337-16-9 CAPLUS
CN Hydrazinecarboxamide, N-[3-[4-(hexahydro-1H-1,4-diazepin-1-yl)phenyl]-2,4-
dihydro-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



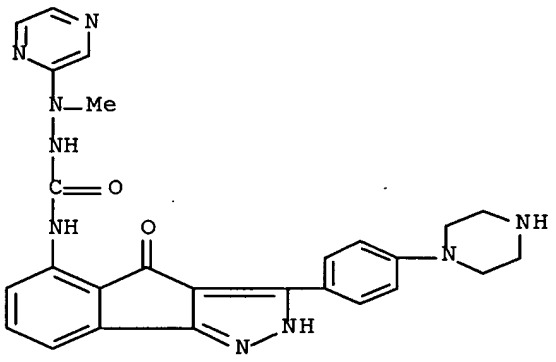
RN 435337-18-1 CAPLUS
CN Hydrazinecarboxamide, N-[3-[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl]-2,4-dihydro-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



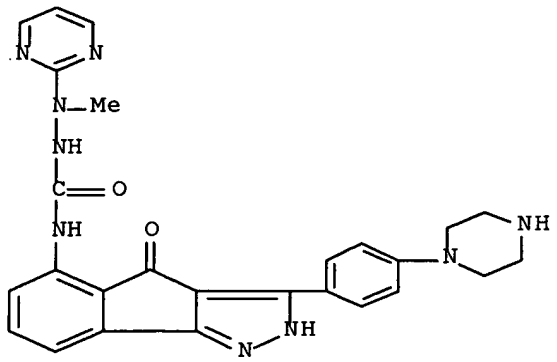
RN 435337-20-5 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



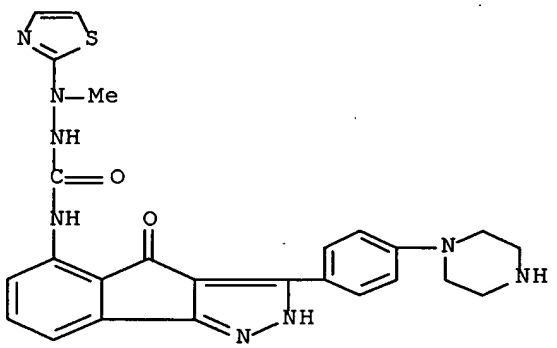
RN 435337-22-7 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-pyrazinyl-(9CI)
(CA INDEX NAME)



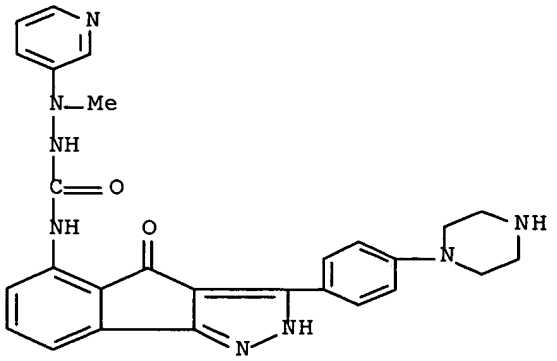
RN 435337-24-9 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(2-pyrimidinyl)-
(9CI) (CA INDEX NAME)



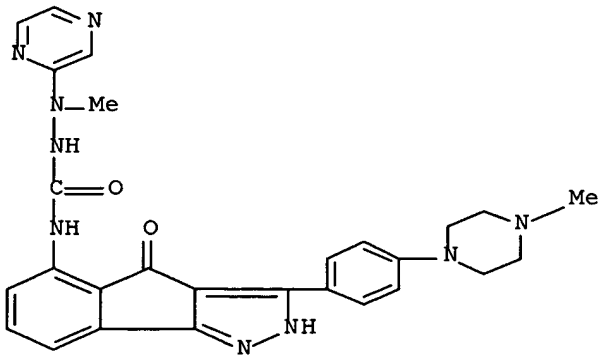
RN 435337-26-1 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(2-thiazolyl)-(9CI) (CA INDEX NAME)



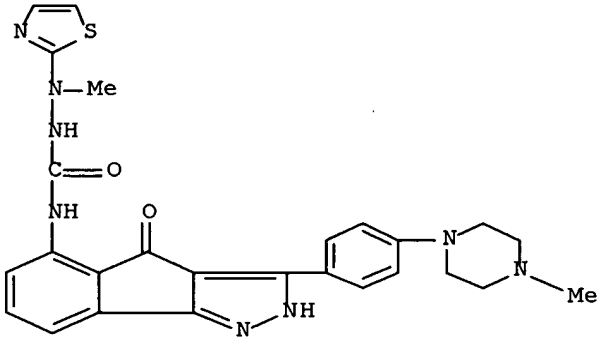
RN 435337-28-3 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(3-pyridinyl)-(9CI) (CA INDEX NAME)



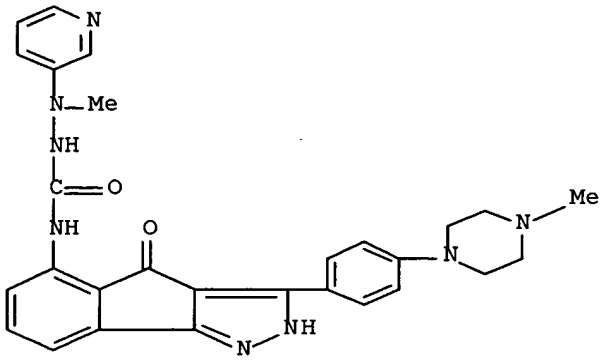
RN 435337-30-7 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-3-[4-(4-methyl-1-piperazinyl)phenyl]-
4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-pyrazinyl- (9CI) (CA INDEX NAME)



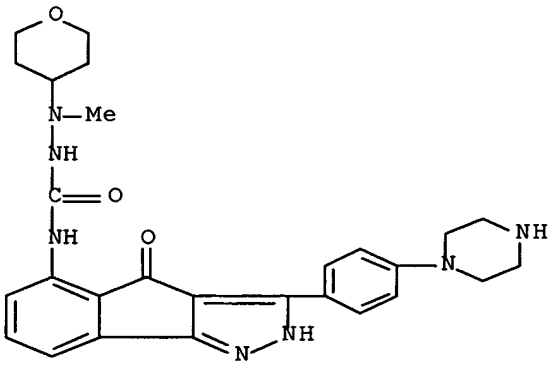
RN 435337-32-9 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-3-[4-(4-methyl-1-piperazinyl)phenyl]-
4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(2-thiazolyl)- (9CI) (CA INDEX
NAME)



RN 435337-34-1 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-3-[4-(4-methyl-1-piperazinyl)phenyl]-
4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(3-pyridinyl)- (9CI) (CA INDEX
NAME)



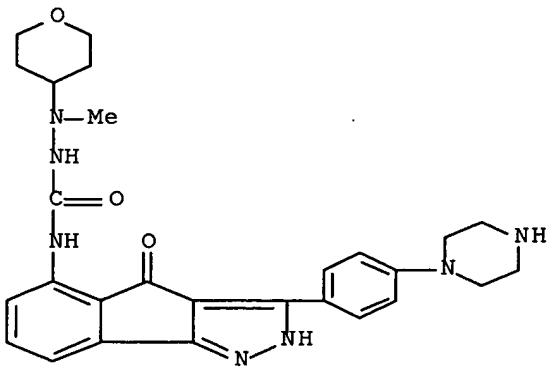
RN 435337-36-3 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 435337-37-4 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

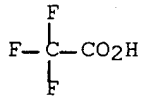
CM 1

CRN 435337-36-3
CMF C27 H31 N7 O3

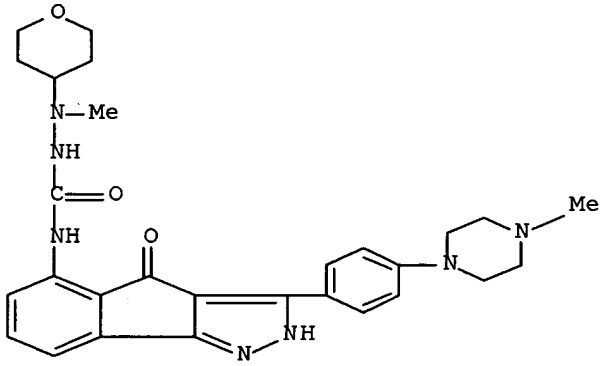


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 435337-39-6 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-3-[4-(4-methyl-1-piperazinyl)phenyl]-
4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-yl)-
(9CI) (CA INDEX NAME)



RN 435337-41-0 CAPLUS
CN Hydrazinecarboxamide, N-[3-[4-(4-ethyl-1-piperazinyl)phenyl]-2,4-dihydro-4-
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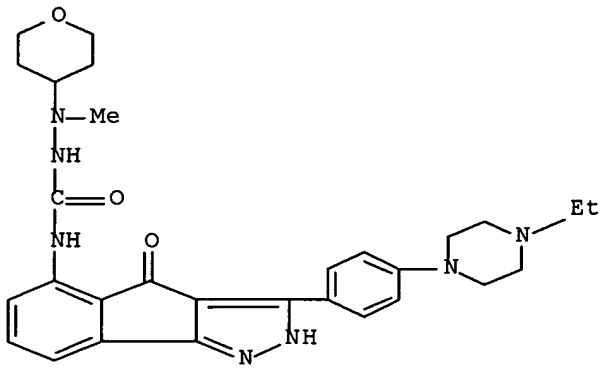
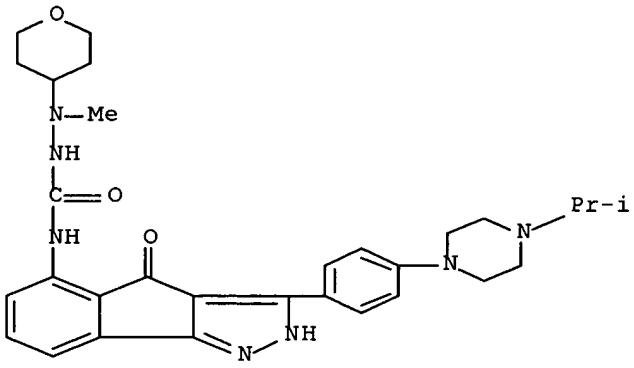
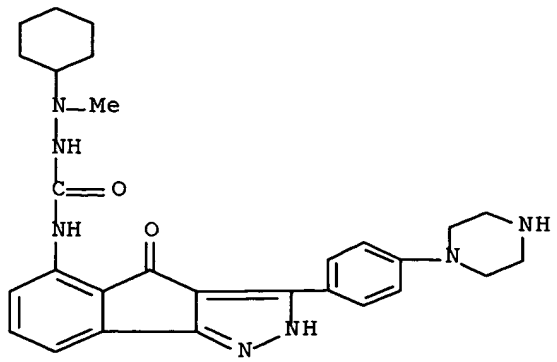
(9CI)
(CA INDEX NAME)



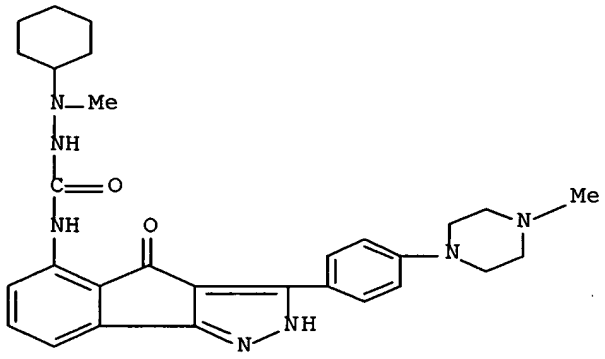
RN 435337-43-2 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-3-[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 435337-45-4 CAPLUS
CN Hydrazinecarboxamide, 2-cyclohexyl-N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 435337-47-6 CAPLUS
CN Hydrazinecarboxamide, 2-cyclohexyl-N-[2,4-dihydro-3-[4-(4-methyl-1-piperazinyl)phenyl]-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl- (9CI) (CA INDEX NAME)



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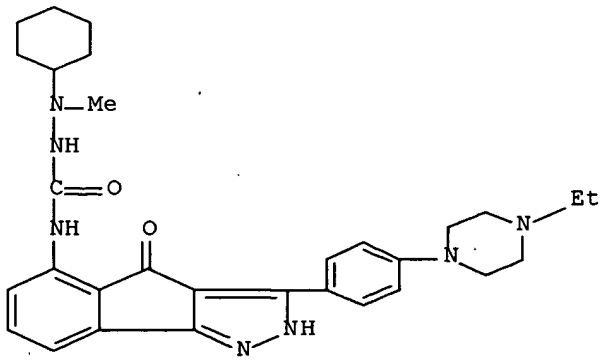

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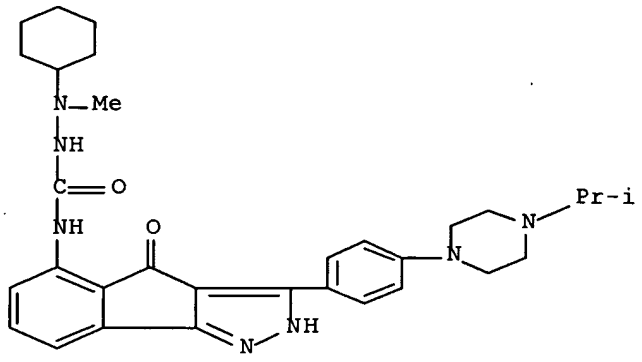

RN 435337-53-4 CAPLUS
CN Hydrazinecarboxamide, N-[3-[4-(hexahydro-1H-1,4-diazepin-1-yl)phenyl]-2,4-
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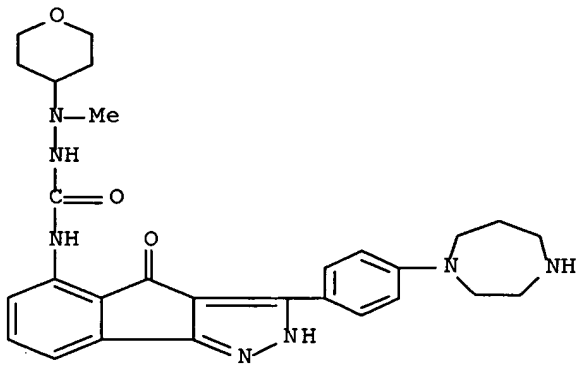
yl)- (9CI) (CA INDEX NAME)



RN 435337-55-6 CAPLUS
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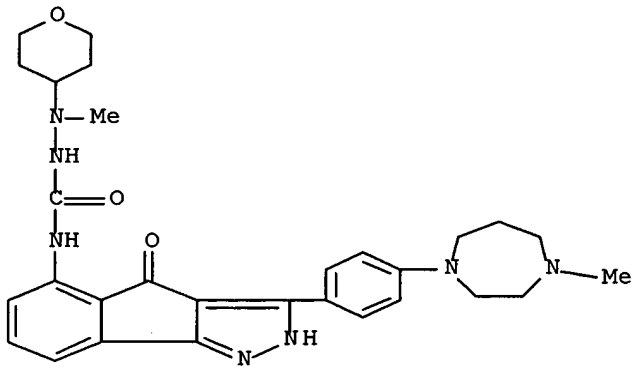

RN 435337-57-8 CAPLUS
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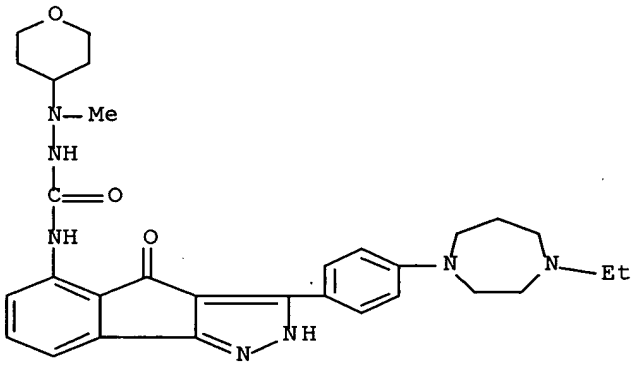

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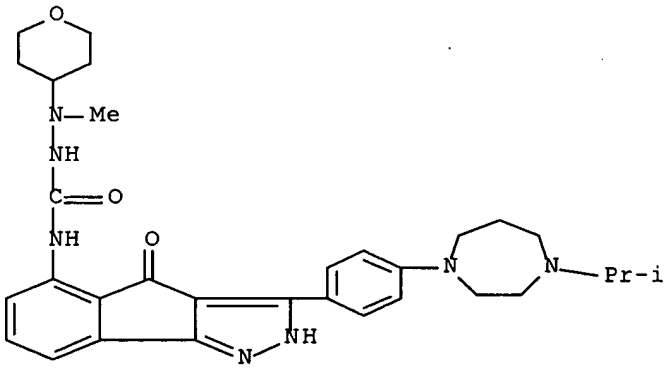
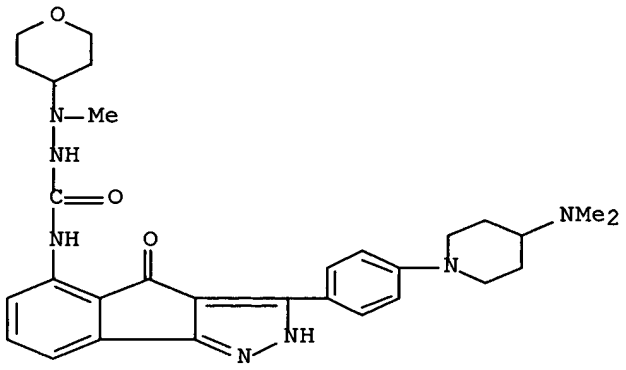


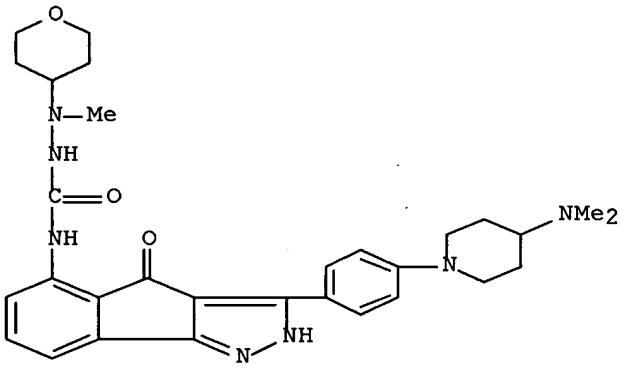
RN 435337-61-4 CAPLUS
CN Hydrazinecarboxamide, N-[3-[4-[4-(dimethylamino)-1-piperidinyl]phenyl]-2,4-
dihydro-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-
yl)- (9CI) (CA INDEX NAME)



RN 435337-62-5 CAPLUS
CN Hydrazinecarboxamide, N-[3-[4-[4-(dimethylamino)-1-piperidinyl]phenyl]-2,4-
dihydro-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-
yl)-, acetate (9CI) (CA INDEX NAME)

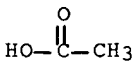
CM 1

CRN 435337-61-4
CMF C30 H37 N7 O3

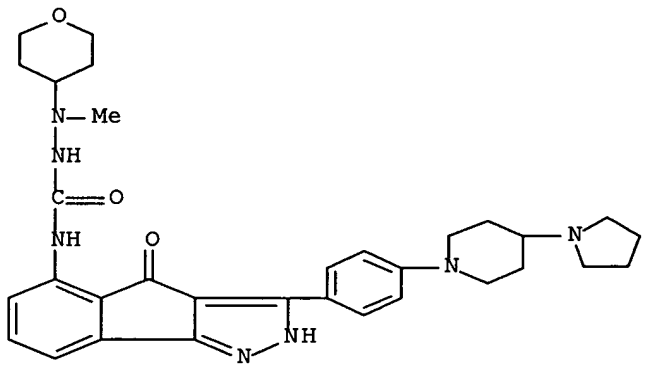


CM 2

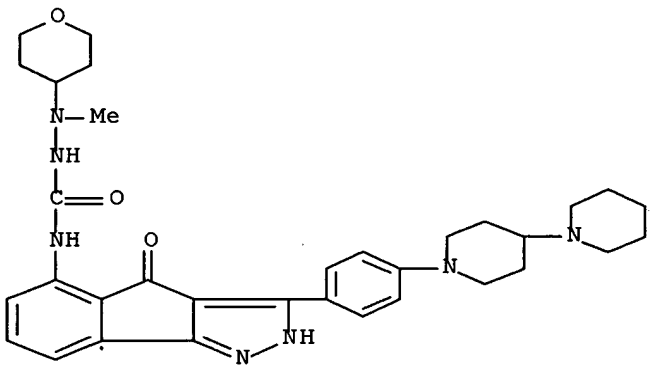
CRN 64-19-7
CMF C2 H4 O2



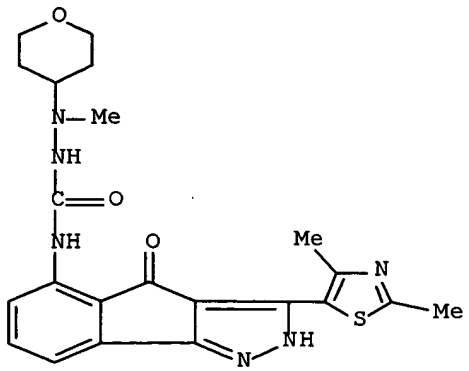
RN 435337-64-7 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-[4-(1-pyrrolidinyl)-1-piperidinyl]phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



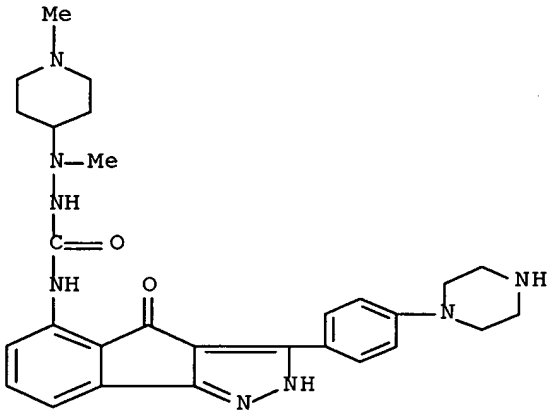
RN 435337-66-9 CAPLUS
CN Hydrazinecarboxamide, N-[3-(4-[1,4'-bipiperidin]-1'-ylphenyl)-2,4-dihydro-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



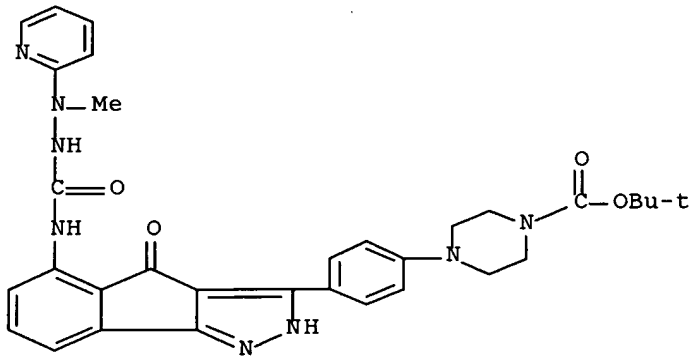
RN 435337-68-1 CAPLUS
CN Hydrazinecarboxamide, N-[3-(2,4-dimethyl-5-thiazolyl)-2,4-dihydro-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-yl)- (9CI)
(CA INDEX NAME)



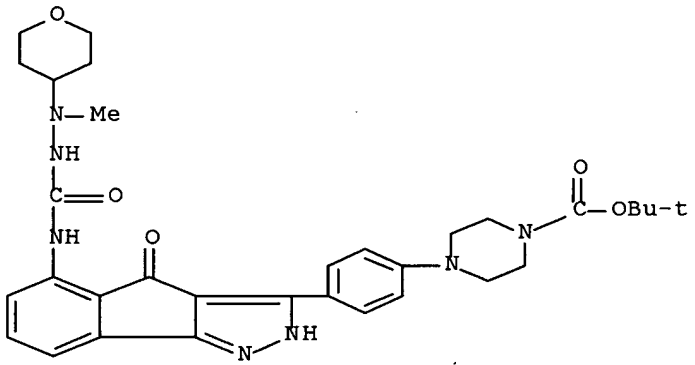
RN 435339-57-4 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(1-piperazinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



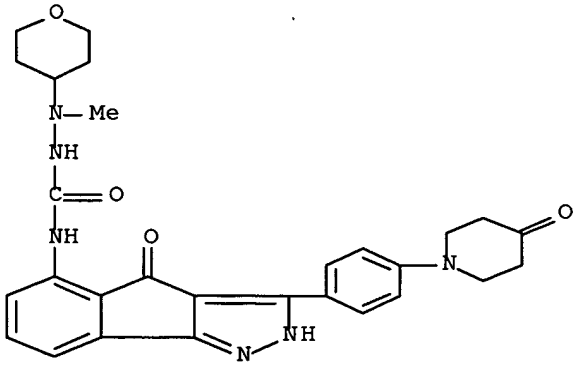
IT **435337-70-5P 435337-72-7P 435337-80-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of indenopyrazolone semicarbazides as cyclin dependent kinase inhibitors)
RN 435337-70-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[2,4-dihydro-5-[[[2-methyl-2-(2-pyridinyl)hydrazino]carbonyl]amino]-4-oxoindeno[1,2-c]pyrazol-3-yl]phenyl]-
, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 435337-72-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[2,4-dihydro-5-[[[2-methyl-2-(tetrahydro-2H-pyran-4-yl)hydrazino]carbonyl]amino]-4-oxoindeno[1,2-c]pyrazol-3-yl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 435337-80-7 CAPLUS
CN Hydrazinecarboxamide, N-[2,4-dihydro-4-oxo-3-[4-(4-oxo-1-piperidinyl)phenyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

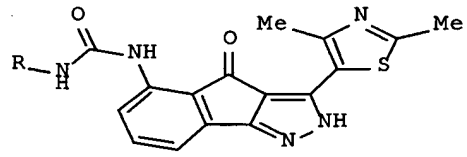


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:428902 CAPLUS
DN 137:20374
TI Preparation of 3-(2,4-dimethylthiazol-5-yl)indeno[1,2-c]pyrazol-4-ones as potent inhibitors of cyclin dependent kinases
IN Yue, Eddy W.
PA Bristol-Myers Squibb Pharma Company, USA
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002044174	A2	20020606	WO 2001-US45227	20011130
	WO 2002044174	A3	20030123		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002028692	A5	20020611	AU 2002-28692	20011130
	US 2002107274	A1	20020808	US 2001-820	20011130
PRAI	US 2000-251213P	P	20001201		
	WO 2001-US45227	W	20011130		

OS MARPAT 137:20374
GI



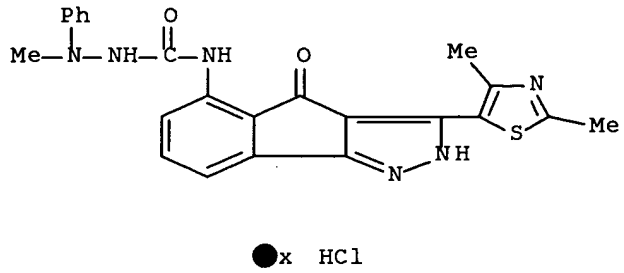
I

AB The title compds. [I; R = H, NR1R2, NR1COR3, etc.; R1 = H, halo, CN, etc.; R2 = H, alkyl, Ph, CH2Ph; or NR1R2 = (un)substituted 4-8 membered heterocyclyl or heterocyclenyl contg. an addnl. 0-1 N, S, or O atom; R3 = H, halo, CN, etc.] and their salts which are potent inhibitors of cyclin dependent kinases, were prepd. E.g., a multi-step synthesis of I.TFA [R = H] was given. This invention also provides a novel method of treating cancer or other proliferative diseases by administering a therapeutically effective amt. of one of compds. I or a pharmaceutically acceptable salt thereof. Alternatively, one can treat cancer or other proliferative diseases by administering a therapeutically effective combination of one of the compds. I and one or more other known anti-cancer or anti-proliferative agents.

IT **433736-40-4P 433736-42-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 3-(2,4-dimethylthiazol-5-yl)indeno[1,2-c]pyrazol-4-ones as potent inhibitors of cyclin dependent kinases)

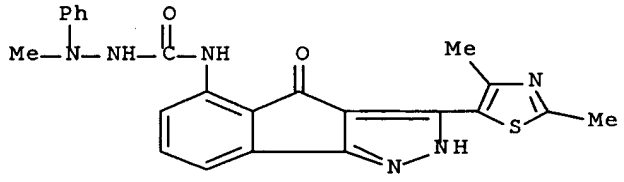
RN 433736-40-4 CAPLUS
CN Hydrazinecarboxamide, N-[3-(2,4-dimethyl-5-thiazolyl)-2,4-dihydro-4-

oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-phenyl-, hydrochloride (9CI) (CA
INDEX NAME)

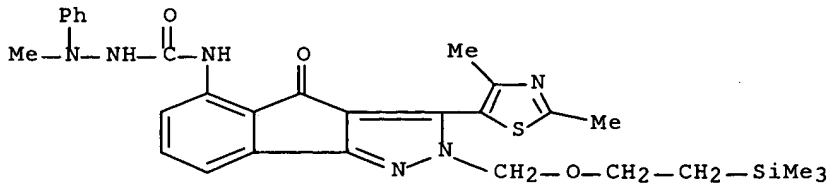


● x HCl

RN 433736-42-6 CAPLUS
CN Hydrazinecarboxamide, N-[3-(2,4-dimethyl-5-thiazolyl)-2,4-dihydro-4-oxoindeno[1,2-c]pyrazol-5-yl]-2-methyl-2-phenyl- (9CI) (CA INDEX NAME)



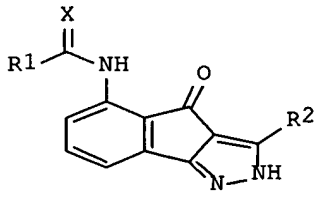
IT **364736-10-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of 3-(2,4-dimethylthiazol-5-yl)indeno[1,2-c]pyrazol-4-ones as potent inhibitors of cyclin dependent kinases)
RN 364736-10-7 CAPLUS
CN Hydrazinecarboxamide, N-[3-(2,4-dimethyl-5-thiazolyl)-2,4-dihydro-4-oxo-2-
[[2-(trimethylsilyl)ethoxy]methyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:731369 CAPLUS
DN 135:288778
TI Preparation of indeno[1,2-c]pyrazol-4-ones as inhibitors of cyclin dependent kinases
IN Nugiel, David A.; Carini, David J.; Dimeo, Susan V.; Yue, Eddy W.
PA USA
SO U.S. Pat. Appl. Publ., 104 pp., Cont.-in-part of U.S. Ser. No. 639,618.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001027195	A1	20011004	US 2000-731304	20001206
	US 6407103	B2	20020618		
	US 6413957	B1	20020702	US 2000-639618	20000815
	AU 2001012168	A5	20020506	AU 2001-12168	20001020
PRAI	US 1998-82476P	P	19980421		
	US 1999-295078	B1	19990420		
	US 2000-639618	A2	20000815		
	WO 2000-US28952	A	20001020		

OS MARPAT 135:288778
GI



I

AB The present invention relates to the synthesis of a new class of indeno[1,2-c]pyrazol-4-ones of formula [X = O, S, (un)substituted NH; R1 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, NH2, C3-10 membered carbocyclyl, 3-10 membered heterocycle contg. 1-4 heteroatoms selected from O, N, and S; R2 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, (CF2)mCF3, C3-10 membered carbocyclyl, 3-10 membered heterocycle contg. 1-4 heteroatoms selected from O, N, and S; wherein m = 0, 1-4]. These compds. are potent inhibitors of the class of enzymes known as cyclin dependent kinases, which relate to the catalytic subunits cdk1-9 and their regulatory subunits know as cyclins A-H. This invention also provides a novel method of treating cancer or other proliferative diseases by administering a therapeutically effective amt. of one of these compds. or a pharmaceutically acceptable salt form thereof. Alternatively, cancer or other proliferative diseases can be treated by administering a therapeutically effective combination of one of the compds. of the present invention and one or more other known anti-cancer or anti-proliferative agents (no data). Thus, hydrogenation of di-Me 3-nitrophthalate over 5% Pd-C in methanol in a Parr shaker at 50 psi for 2 h followed by acetylation with Ac2O in pyridine at 25.degree. for 2 h gave 79% di-Me 3-acetamidophthalate which was treated with NaH in

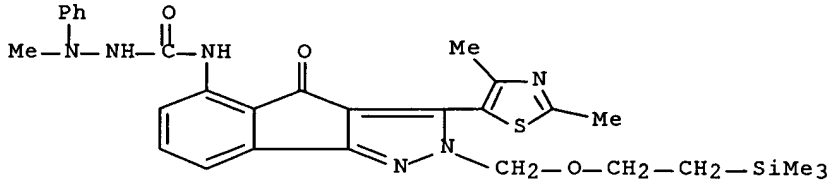
DMF and cyclocondensed with 4-methoxyacetophenone at 90.degree. for 20 min
to give 30% 2-(4-methoxybenzoyl)-4-acetamidoindane-2,3-dione.
Cyclocondensation of the latter triketone with hydrazine hydrate in the presence of p-TsOH in ethanol under reflux for 2 h gave I (R1 = Me, X = O,
R2 = 4-methoxyphenyl).

IT **364736-10-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of indeno[c]pyrazolones as inhibitors of cyclin dependent kinases)

RN 364736-10-7 CAPLUS

CN Hydrazinecarboxamide, N-[3-(2,4-dimethyl-5-thiazolyl)-2,4-dihydro-4-oxo-2-
[[2-(trimethylsilyl)ethoxy]methyl]indeno[1,2-c]pyrazol-5-yl]-2-methyl-2-phenyl- (9CI) (CA INDEX NAME)

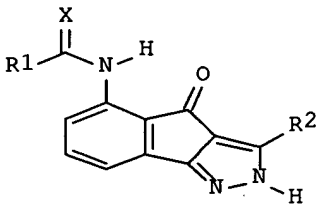


L9 ANSWER 1 OF 4 MARPAT COPYRIGHT 2003 ACS on STN
AN 138:304281 MARPAT
TI Preparation of 5-substituted indeno[1,2-c]pyrazol-4-ones as cyclin dependent kinase inhibitors for treating cancer and other proliferative diseases
IN Nugiel, David; Carini, David; Dimeo, Susan; Vidwans, Anup; Yue, Eddy
PA USA
SO U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. 6,291,504.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003073686	A1	20030417	US 2001-906963	20010716
US 6593356	B2	20030715		
US 6291504	B1	20010918	US 2000-692023	20001019
WO 2003007883	A2	20030130	WO 2002-US22663	20020716
WO 2003007883	A3	20030522		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-160713P 19991020
US 2000-692023 20001019
US 2001-906963 20010716
GI



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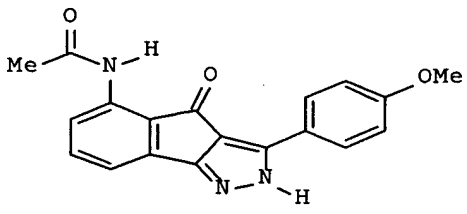
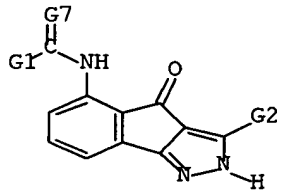


II

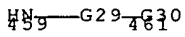
AB The title compds. [I; X = O, S, NR (wherein R = H, alkyl, (un)substituted NH2); R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.] that are potent inhibitors of the class of enzymes known as cyclin dependent kinases, which relate to the catalytic subunits cdk1-7 and their regulatory subunits known as cyclines A-G and therefore are useful in treating cancer or other proliferative

diseases (no data), were prepd. E.g., a 3-step synthesis of indeno[1,2-c]pyrazol-4-one II, starting with di-Me 3-nitrophthalate, was given.

MSTR 1



G1 = 459



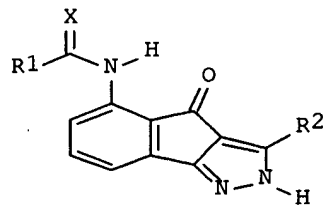
G7 = O
G29 = 76

G30 = Ph (SO)
MPL: claim 1
NTE: also incorporates broader disclosure
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: additional oxo formation also disclosed
STE: or stereoisomer

L9 ANSWER 2 OF 4 MARPAT COPYRIGHT 2003 ACS on STN
AN 138:122642 MARPAT
TI Preparation of 5-substituted indeno[1,2-c]pyrazol-4-ones as anti-cancer and anti-proliferative agents
IN Nugiel, David; Carini, David; Dimeo, Susan; Vidwans, Anup; Yue, Eddy
PA Bristol-Myers Squibb Pharma Company, USA
SO PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003007883	A2	20030130	WO 2002-US22663	20020716
	WO 2003007883	A3	20030522		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003073686	A1	20030417	US 2001-906963	20010716
	US 6593356	B2	20030715		
PRAI	US 2001-906963	20010716			
	US 1999-160713P	19991020			
	US 2000-692023	20001019			

GI



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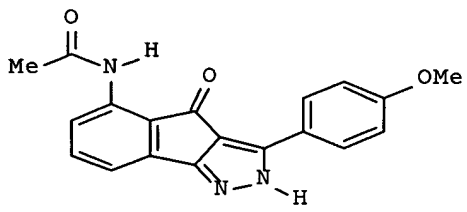
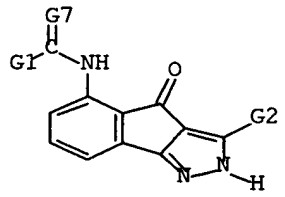


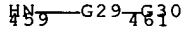
II

AB The title compds. [I; X = O, S, NR (wherein R = H, alkyl, (un)substituted NH2); R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.] that are potent inhibitors of the class of enzymes known as cyclin dependent kinases, which relate to the catalytic subunits cdk1-7 and their regulatory subunits known as cyclines A-G and therefore are useful in treating cancer or other proliferative diseases (no data), were prepd. E.g., a 3-step synthesis of indeno[1,2-c]pyrazol-4-one II, starting with di-Me 3-nitrophthalate, was given.

MSTR 1



G1 = 459



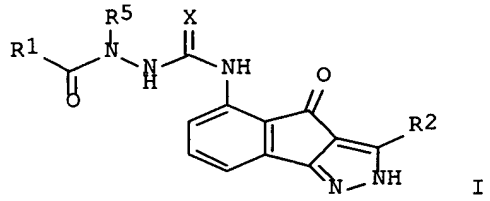
G7 = O
G29 = 76

G30 = Ph (SO)
MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: additional oxo formation also disclosed
STE: or stereoisomer

L9 ANSWER 3 OF 4 MARPAT COPYRIGHT 2003 ACS on STN
AN 135:242226 MARPAT
TI Preparation of a new acylsemicarbazide-containing indeno[1,2-c]pyrazol-4-
ones as cyclin dependent kinase (cdk) inhibitors
IN Nugiel, David A.; Carini, David J.; Di Meo, Susan V.; Vidwans, Anup P.; Yue, Eddy W.
PA DuPont Pharmaceuticals Company, USA
SO U.S., 26 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6291504	B1	20010918	US 2000-692023	20001019
US 2003073686	A1	20030417	US 2001-906963	20010716
US 6593356	B2	20030715		

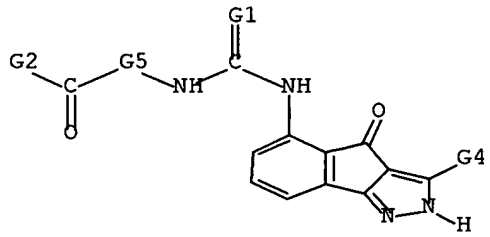
PRAI US 1999-160713P 19991020
US 2000-692023 20001019
GI



I

AB The title compds. [I; X = O, S; R1 = NR3R3a, CF3, alkyl, etc.; R2 = H, alkyl, alkenyl, etc.; R3, R3a = H, alkyl, Ph, CH2Ph; R5 = H, alkyl, Ph, etc.] that are potent inhibitors of the class of enzymes known as cyclin dependent kinases which relate to the catalytic subunits cdk1-9 and their regulatory subunits know as cyclins A-H (no biol. data given), and are useful in treating cancer or other proliferative diseases, were prepd. E.g., a 3-step synthesis of I [X = O; R1 = 3,5-(MeO)2C6H3; R2 = 4-MeOC6H4; R5 = H] was given. Alternatively, one can treat cancer or other proliferative diseases by administering a therapeutically effective combination of one of the compds. I and one or more other known anti-cancer or anti-proliferative agents.

MSTR 1



G1 = O
G5 = 29

G6 = Ph

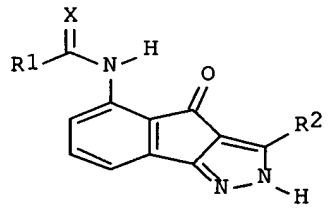
MPL: claim 1
NTE: or pharmaceutically acceptable salts, prodrugs, or N-oxides
NTE: substitution is restricted
NTE: additional oxo group substitution and ring formation also claimed
STE: or stereoisomers

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

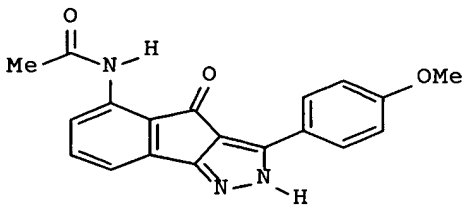
L9 ANSWER 4 OF 4 MARPAT COPYRIGHT 2003 ACS on STN
AN 131:299444 MARPAT
TI Preparation of 5-aminoindeno[1,2-c]pyrazol-4-ones as anti-cancer and anti-proliferative agents
IN Nugiel, David A.; Carini, David J.; Yue, Eddy W.; Dimeo, Susan V.
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9954308	A1	19991028	WO 1999-US8616	19990420
	W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2322204	AA	19991028	CA 1999-2322204	19990420
	AU 9936548	A1	19991108	AU 1999-36548	19990420
	EP 1071668	A1	20010131	EP 1999-918695	19990420
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9909597	A	20011002	BR 1999-9597	19990420
	JP 2002512230	T2	20020423	JP 2000-544647	19990420
	NZ 507567	A	20030829	NZ 1999-507567	19990420
	ZA 2000004445	A	20010828	ZA 2000-4445	20000828
	WO 2002034721	A1	20020502	WO 2000-US28952	20001020
	W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 2001012168	A5	20020506	AU 2001-12168	20001020
PRAI	US 1998-82476P	19980421			
	WO 1999-US8616	19990420			
	WO 2000-US28952	20001020			

GI



I

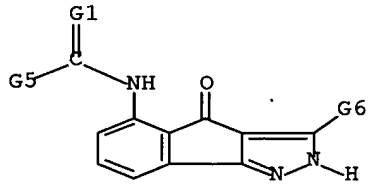


II

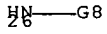
AB The title compds. [I; X = O, S, NR (wherein R = H, alkyl, (un)substituted NH2); R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = H,

(un)substituted alkyl, alkenyl, etc.] that are potent inhibitors of the class of enzymes known as cyclin dependent kinases, which relate to the catalytic subunits cdk1-7 and their regulatory subunits known as cyclines
A-G and therefore are useful in treating cancer or other proliferative diseases (no data), were prepd. E.g., a 3-step synthesis of indeno[1,2-c]pyrazol-4-one II, starting with di-Me 3-nitrophthalate, was given. Alternatively, one can treat cancer or other proliferative diseases by administering a therapeutically effective combination of one of the compds.I and one or more other known anti-cancer or anti-proliferative agents.

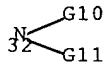
MSTR 1



G1 = O
G5 = 26



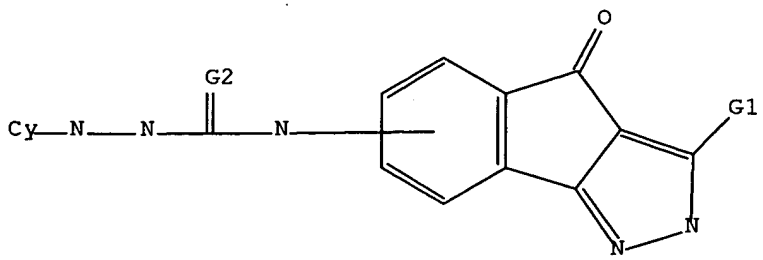
G8 = 32



G10 = Ph
MPL: claim 1
NTE: oxygen alternative in G10 is free radical
NTE: additional substitution and ring formation also claimed
STE: or stereoisomers or pharmaceutically acceptable salts

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l1; d his; log y
L1 HAS NO ANSWERS
L1 STR



G1 H,Cy,Ak
G2 O,S

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 10:44:05 ON 14 NOV 2003)

FILE 'REGISTRY' ENTERED AT 10:44:14 ON 14 NOV 2003
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 39 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:44:39 ON 14 NOV 2003
L4 3 S L3

FILE 'BEILSTEIN' ENTERED AT 10:45:10 ON 14 NOV 2003
L5 0 S L1
L6 0 S L1 FUL

FILE 'MARPAT' ENTERED AT 10:45:26 ON 14 NOV 2003
L7 0 S L1
L8 6 S L1 FUL
L9 4 S L8 NOT L4

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	121.47	283.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.48	-4.43

STN INTERNATIONAL LOGOFF AT 10:46:05 ON 14 NOV 2003